

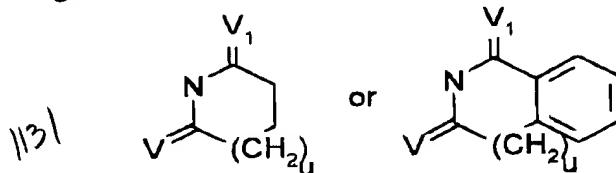
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-O(CH₂)_r-NT₂, in which r is 2, 3, or 4 and T is hydrogen or C₁₋₆ alkyl or it forms with the adjacent nitrogen a group



in which V and V₁ are independently hydrogen or oxygen and u is 0, 1 or 2;

-O(CH₂)_s-OW₂ in which s is 2, 3, or 4 and W is hydrogen or C₁₋₆ alkyl; hydroxyalkyl, aminoalkyl, mono- or di-alkylaminoalkyl, acylamino, alkylsulphonylamino, aminoacylamino, mono- or di-alkylaminoacylamino; with up to four R₃ substituents being present in the quinoline nucleus;

R₄ is hydroxy;

R₅ is branched or linear C₁₋₆ alkyl, C₃₋₇ cycloalkyl, C₄₋₇ cycloalkylalkyl, optionally substituted aryl, wherein an optional substituent is hydroxy, halogen, C₁₋₆ alkoxy or C₁₋₆ alkyl, or an optionally substituted single or fused ring heterocyclic group, having aromatic character, containing from 5 to 12 ring atoms and comprising up to four hetero-atoms in the or each ring selected from S, O, N;

X is O, S, or N-C≡N.

REMARKS

This Amendment is made after receiving a Notice of Allowance and under the provisions of 37 C.F.R. §312. The amendments made herein either correct minor typographical errors, or inadvertent errors in defining one or more of the variables of the compounds of formula (I) or formula (Ib). Applicants submit that the case remains in condition for allowance.

Specifically, the amendments made herein are as follows:

- 1) In claim 11, the compound (R,S)-N-[α -(methoxycarbonyl)benzyl]-N-methyl-2-phenylquinoline-4-carboxamide, has been deleted as it is a tertiary amine, and therefore not consistent with the other compounds claimed herein;
- 2) In claim 19, the definition of R₁ was deleted since claim 19 depends from claim 60, wherein the compound of formula (Ib) does not contain a variable R₁, rather simply a hydrogen in that position;
- 3) The term "compositions" in claim 24 was placed in the correct singular format;
- 4) The definition of variable R₁ in claim 59 was clarified by indicating that R₁ together with R₂ can form a ring structure;

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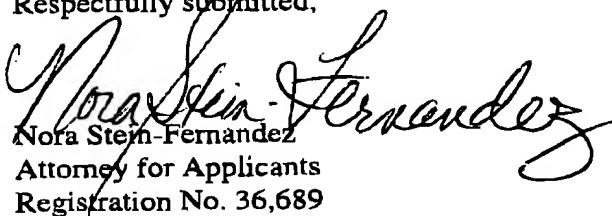
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5) The definition of R_1 was deleted in claim 60 since no variable R_1 exists for compounds of formula (Ib); and

6) The definition of variable R_1 in claim 61 was clarified by indicating that R_1 together with R_2 can form a ring structure.

Applicants invite the Examiner to contact the undersigned attorney if questions arise concerning the above amendments.

Respectfully submitted,


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VERSION WITH MARKINGS TO SHOW CHANGES MADE

11. (Amended) A compound according to claim 59 selected from the group consisting of:

(R,S)-N-(α -methylbenzyl)-2-phenylquinoline-4-carboxamide;
(+)-(S)-N-(α -methylbenzyl)-2-phenylquinoline-4-carboxamide;
(-)-(R)-N-(α -methylbenzyl)-2-phenylquinoline-4-carboxamide;
(R,S)-N-[α -(methoxycarbonyl)benzyl]-2-phenylquinoline-4 carboxamide;
(+)-(S)-N-[α -(methoxycarbonyl)benzyl]-2-phenylquinoline-4-carboxamide;
(-)-(R)-N-[α -(methoxycarbonyl)benzyl]-2-phenylquinoline-4-carboxamide;
(R,S)-N-[α -(methoxycarbonyl)benzyl]-7-methoxy-2-phenylquinoline-4-
carboxamide;
(R,S)-N-[α -(methoxycarbonyl)benzyl]-7-hydroxy-2-phenylquinoline-4-
carboxamide;
(R,S)-N-[α -(carboxy)benzyl]-7-methoxy-2-phenylquinoline-4-carboxamide
hydrochloride;
(R,S)-N-[α -(methylaminocarbonyl)benzyl]-2-phenylquinoline-4-carboxamide;
(R,S)-N-[α -(methoxycarbonyl)benzyl]-2-(2-thienyl)quinoline-4-carboxamide;
(R,S)-N-[α -(methoxycarbonyl)benzyl]-2-(2-furyl)quinoline-4-carboxamide;
(R,S)-N-[α -(methoxycarbonyl)benzyl]-2-(4-pyridyl)quinoline-4-carboxamide;
(R,S)-N-[α -(methoxycarbonyl)-2-thienylmethyl]-2-phenylquinoline-4-carboxamide;
(R,S)-N-[α -(methoxycarbonylmethyl)benzyl]-2-phenylquinoline-4-carboxamide;
(-)-(R)-N-[α -(methoxycarbonyl)-1,4-cyclohexadienylmethyl]-2-phenylquinoline-4-
carboxamide;
(R,S)-N-[α -(1-hydroxyethyl)benzyl]-2-phenylquinoline-4-carboxamide single diast;
(R,S)-N-(α -ethylbenzyl)-3-methoxy-2-phenylquinoline-4-carboxamide;
(R,S)-N-(α -ethylbenzyl)-3-n-butyl-2-phenylquinoline-4-carboxamide;
(R,S)-N-[α -(methoxycarbonyl)benzyl]benzo-1,3-cycloheptadieno[1,2-b]quinoline-8-
carboxamide;
(R,S)-N-(α -ethylbenzyl)-3-hexyl-2-phenylquinoline-4-carboxamide;
(-)-(S)-N-(α -ethylbenzyl)-3-methyl-2-phenylquinoline-4-carboxamide;
(+)-(R)-N-(α -ethylbenzyl)-3-methyl-2-phenylquinoline-4-carboxamide;
(R,S)-N-[α -(methoxycarbonyl)benzyl]-2-(2-methoxyphenyl)quinoline-4-
carboxamide;
(R,S)-N-(α -ethylbenzyl)-3-phenyl-2-phenylquinoline-4-carboxamide;
(R,S)-N-[α -(methoxycarbonyl)benzyl]-2-(2-fluorophenyl)quinoline-4-carboxamide;
(R,S)-N-[α -(ethyl)-3,4-dichlorobenzyl]-2-phenylquinoline-4-carboxamide;

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(R,S)-N-[α -(hydroxymethyl)benzyl]-2-phenylquinoline-4-carboxamide;
(R,S)-N-[α -(ethylbenzyl)-2-phenylquinoline-4-carboxamide;
(R,S)-N-[α -(methoxycarbonyl)benzyl]-3-methyl-2-phenylquinoline-4-carboxamide;
(R,S)-N-[α -(ethylbenzyl)-3-methyl-2-phenylquinoline-4-carboxamide;
(R,S)-N-[α -(methoxycarbonyl)benzyl]-7-chloro-2-phenylquinoline-4-carboxamide;
(R,S)-N-[α -(methoxycarbonyl)benzyl]-6-methyl-2-phenylquinoline-4-carboxamide;
(R,S)-N-[α -(methoxymethyl)benzyl]-2-phenylquinoline-4-carboxamide;
(R,S)-N-[α -(methoxycarbonyl)benzyl]-6-chloro-2-phenylquinoline-4-carboxamide;
(R,S)-N-[α -(methoxycarbonyl)benzyl]-3-ethyl-2-phenylquinoline-4-carboxamide;
(R,S)-N-[α -n-propylbenzyl]-2-phenylquinoline-4-carboxamide;
(R,S)-N-[α -ethylbenzyl]-3-ethyl-2-phenylquinoline-4-carboxamide;
(R,S)-N-[α -ethylbenzyl]-3-phthalimido-2-phenylquinoline-4-carboxamide;
(R,S)-N-[α -ethylbenzyl]-3-n-propyl-2-phenylquinoline-4-carboxamide;
(-)-(S)-N-[α -ethylbenzyl]-6-bromo-3-methyl-2-(4-bromophenyl)quinoline-4-carboxamide;
(-)-(S)-N-[α -ethylbenzyl]-6-bromo-3-methyl-2-phenylquinoline-4-carboxamide;
(R,S)-N-[α -(methoxycarbonyl)benzyl]-6-methoxy-2-phenylquinoline-4-carboxamide;
(R,S)-N-[α -(methoxycarbonyl)benzyl]-2-(2-benzofuryl)quinoline-4-carboxamide;
(R,S)-N-[α -(1,2-diphenyl)ethyl]-2-phenylquinoline-4-carboxamide;
(R,S)-N-[α -(trifluoromethyl)benzyl]-2-phenylquinoline-4-carboxamide;
(-)-(S)-N-[α -ethylbenzyl]-3-methoxy-2-phenylquinoline-4-carboxamide;
(-)-(S)-N-[α -ethylbenzyl]-3-ethyl-2-phenylquinoline-4-carboxamide;
(R,S)-N-[α -(ethyl)-4-chlorobenzyl]-2-phenylquinoline-4-carboxamide;
[(R,S)-N-[α -(methoxycarbonyl)benzyl]-N-methyl-2-phenylquinoline-4-carboxamide;]
(R,S)-N-[α -(methoxycarbonyl)benzyl]-2-(3-thienyl)quinoline-4-carboxamide;
(R,S)-N-[α -(methoxycarbonyl)benzyl]-5,6-dihydrobenzo[a]acridine-7-carboxamide;
(R,S)-N-[α -(methoxycarbonyl)benzyl]-2-(2-pyrryl)quinoline-4-carboxamide;
(R,S)-N-[α -(methoxycarbonyl)benzyl]-2-(2-thiazolyl)quinoline-4-carboxamide;
(R,S)-N-(1-indanyl)-2-phenylquinoline-4-carboxamide;
(R,S)-N-[α -n-butylbenzyl]-2-phenylquinoline-4-carboxamide;
(R,S)-N-[α -(methoxycarbonyl)benzyl]-2-(4-methylphenyl)quinoline-4-carboxamide;
(R,S)-N-[α -(heptylbenzyl)-2-phenylquinoline-4-carboxamide;
(R,S)-N-[α -(methoxycarbonyl)benzyl]-2-(2-methylphenyl)quinoline-4-carboxamide;
(R,S)-N-[α -(methoxycarbonyl)benzyl]-2-(4-methoxyphenyl)quinoline-4-carboxamide;

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N-(1-phenylcyclopentyl)-2-phenylquinoline-4-carboxamide;
(R,S)-N-[α -(methoxycarbonyl)benzyl]-2-(4-hydroxyphenyl)quinoline-4-carboxamide;
(R,S)-N-[α -(methoxycarbonyl)benzyl]-2-(3,4-methylenedioxyphenyl)quinoline-4-carboxamide;
N-(α , α -dimethylbenzyl)-2-phenylquinoline-4-carboxamide;
(R,S)-N-[α -(ethyl)-4-methylbenzyl]-2-phenylquinoline-4-carboxamide;
(R,S)-N-[α -(methoxycarbonyl)benzyl]-2-(3-pyrryl)quinoline-4-carboxamide;
(R,S)-N-[α -(methoxycarbonyl)benzyl]-2-(3,4-dichlorophenyl)quinoline-4-carboxamide;
(-)-(R)-N-[α -(aminomethyl)benzyl]-2-phenylquinoline-4-carboxamide;
(-)-(S)-N-(α -ethylbenzyl)-3-amino-2-phenylquinoline-4-carboxamide;
(-)-(S)-N-(α -ethylbenzyl)-3-chloro-2-phenylquinoline-4-carboxamide;
(-)-(S)-N-(α -ethylbenzyl)-3-bromo-2-phenylquinoline-4-carboxamide;
(R,S)-N-(α -iso-propylbenzyl)-2-phenylquinoline-4-carboxamide;
(-)-(S)-N-(α -ethylbenzyl)-2-phenylquinoline-4-carboxamide;
(+)-(R)-N-(α -ethylbenzyl)-2-phenylquinoline-4-carboxamide;
(R,S)-N-[α -(methoxycarbonyl)benzyl]-6-fluoro-2-phenylquinoline-4-carboxamide;
(R,S)-N-[α -(methoxycarbonyl)benzyl]-2-cyclohexylquinoline-4-carboxamide;
(R,S)-N-[α -(methoxycarbonyl)benzyl]-2-(3-chlorophenyl)quinoline-4-carboxamide;
(R,S)-N-[α -(methoxycarbonyl)benzyl]-2-(2-chlorophenyl)quinoline-4-carboxamide;
(R,S)-N-[α -ethylbenzyl]-3-hydroxy-2-phenylquinoline-4-carboxamide;
(R,S)-N-[α -(methoxycarbonyl)benzyl]-8-acetoxy-2-phenylquinoline-4-carboxamide;
(R,S)-N-[α -(methoxycarbonyl)benzyl]-8-hydroxy-2-phenylquinoline-4-carboxamide;
(R,S)-N-[α -(methoxycarbonyl)benzyl]-2-(2,4-dichlorophenyl)quinoline-4-carboxamide;
(-)-(R)-N-[α -(methoxycarbonyl)-4-hydroxybenzyl]-2-phenylquinoline-4-carboxamide hydrochloride;
N-diphenylmethyl-2-phenylquinoline-4-carboxamide;
(-)-(S)-N-(α -ethylbenzyl)-3-hydroxy-2-phenylquinoline-4-carboxamide;
(+)-(R)-N-(α -ethylbenzyl)-3-hydroxy-2-phenylquinoline-4-carboxamide;
(-)-(R)-N-[α -(methoxycarbonyl)benzyl]-3-hydroxy-2-phenylquinoline-4-carboxamide;
(-)-(R)-N-[α -(dimethylaminomethyl)benzyl]-2-phenylquinoline-4-carboxamide;
(R,S)-N-[α -(dimethylaminocarbonyl)benzyl]-2-phenylquinoline-4-carboxamide;

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(R,S)-N-[α -(aminocarbonyl)benzyl]-2-phenylquinoline-4-carboxamide;
(R,S)-N-[α -(1-pyrrolidinylcarbonyl)benzyl]-2-phenylquinoline-4-carboxamide;
(-)-(R)-N-[α -(carboxy)benzyl]-2-phenylquinoline-4-carboxamide hydrochloride;
(R,S)-N-[α -(methoxycarbonyl)benzyl]-2-(4-chlorophenyl)quinoline-4-carboxamide;
(R)-N-[α -(methoxycarbonyl)-4-methoxybenzyl]-2-phenylquinoline-4-carboxamide;
(R,S)-N-[α -(methoxycarbonyl)- α -(methyl)benzyl]-N-methyl-2-phenylquinoline-4-carboxamide hydrochloride;
(R,S)-N-[α -(methylcarbonyl)benzyl]-2-phenylquinoline-4-carboxamide;
(R,S)-N-[α -(2-hydroxyethyl)benzyl]-2-phenylquinoline-4-carboxamide;
(-)-(S)-N-(α -ethylbenzyl)-3-(2-dimethylaminoethoxy)-2-phenylquinoline-4-carboxamide hydrochloride;
(-)-(S)-N-(α -ethylbenzyl)-3-acetylamino-2-phenylquinoline-4-carboxamide;
(-)-(S)-N-(α -ethylbenzyl)-3-(3-dimethylaminopropoxy)-2-phenylquinoline-4-carboxamide hydrochloride;
(-)-(S)-N-(α -ethylbenzyl)-3-[2-(1-phthaloyl)ethoxy]-2-phenylquinoline-4-carboxamide hydrochloride;
(-)-(S)-N-(α -ethylbenzyl)-3-(2-aminoethoxy)-2-phenylquinoline-4-carboxamide hydrochloride;
(+)-(S)-N-(α -ethylbenzyl)-3-[2-(1-pyrrolidinyl)ethoxy]-2-phenylquinoline-4-carboxamide hydrochloride;
(-)-(S)-N-(α -ethylbenzyl)-3-(dimethylaminoacetylamino)-2-phenylquinoline-4-carboxamide;
N-(α , α -dimethylbenzyl)-3-hydroxy-2-phenylquinoline-4-carboxamide;
N-(α , α -dimethylbenzyl)-3-amino-2-phenylquinoline-4-carboxamide;
(-)-(S)-N-(α -ethylbenzyl)-5-methyl-2-phenylquinoline-4-carboxamide;
(R,S)-N-[α -(1-hydroxyethyl)benzyl]-3-methyl-2-phenylquinoline-4-carboxamide;
(R,S)-N-[α -(methylcarbonyl)benzyl]-3-methyl-2-phenylquinoline-4-carboxamide;
(R,S)-N-[α -(ethyl)-4-pyridylmethyl]-2-phenylquinoline-4-carboxamide;
(R,S)-N-[α -(ethyl)-2-thienylmethyl]-2-phenylquinoline-4-carboxamide;
(+)-(S)-N-(α -ethylbenzyl)-3-dimethylaminomethyl-2-phenylquinoline-4-carboxamide hydrochloride;
(S)-N-(α -ethylbenzyl)-3-methyl-7-methoxy-2-phenylquinoline-4-carboxamide;
(S)-N-(α -ethylbenzyl)-3-amino-5-methyl-2-phenylquinoline-4-carboxamide; and
(S)-N-(α -ethylbenzyl)-3-methoxy-5-methyl-2-phenylquinoline-4-carboxamide[;].

19. (Three Times Amended) A compound according to claim 60, or a salt or solvate thereof, in which:

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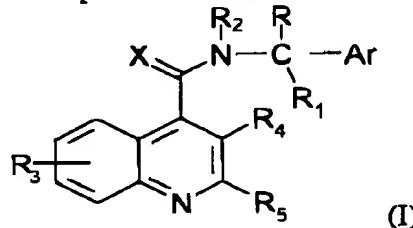
Z is phenyl, 2-chlorophenyl, 2-thienyl or cyclohexadienyl;

R is methyl, ethyl, n-propyl, -COOMe, or -COMe;

[R₁ and] R₂ [are each] is hydrogen or methyl;R₃ is hydrogen, methoxy, or hydroxy;R₄ is hydrogen, methyl, ethyl, methoxy, hydroxy, amino, chlorine, bromine, dimethylaminoethoxy, 2-(1-phthaloyl)ethoxy, aminoethoxy, 2-(1-pyrrolidinyl)ethoxy, dimethylaminopropoxy, dimethylaminoacetyl amino, acetyl amino, or dimethylaminomethyl; and Y is phenyl, 2-thienyl, 2-furyl, 2-pyrryl, 2-thiazolyl or 3-thienyl.

24. (Amended) A pharmaceutical [compositions] composition comprising a compound according to claim 23 or a pharmaceutically acceptable a salt or solvate thereof and a pharmaceutically acceptable carrier.

59. (Amended) A compound, or solvate or salt thereof, of formula (I):



in which:

Ar is an optionally substituted phenyl group, or a naphthyl or C5-7 cycloalkdienyl group, or an optionally substituted single or fused ring heterocyclic group, having aromatic character, containing from 5 to 12 ring atoms and comprising up to four hetero-atoms in the or each ring selected from S, O, N;

R is linear or branched C₁₋₈ alkyl, C₃₋₇ cycloalkyl, C₄₋₇ cycloalkylalkyl, an optionally substituted phenyl group or a phenyl C₁₋₆ alkyl group, an optionally substituted five-membered heteroaromatic ring comprising up to four heteroatoms selected from O and N, hydroxy C₁₋₆ alkyl, amino C₁₋₆ alkyl, C₁₋₆ alkylaminoalkyl, di C₁₋₆ alkylaminoalkyl, C₁₋₆ acylaminoalkyl, C₁₋₆ alkoxyalkyl, C₁₋₆ alkylcarbonyl, carboxy, C₁₋₆ alkoxyxcarbonyl, C₁₋₆ alkoxy carbonyl C₁₋₆ alkyl, aminocarbonyl, C₁₋₆ alkylaminocarbonyl, di C₁₋₆ alkylaminocarbonyl, halogeno C₁₋₆ alkyl; or is a group -(CH₂)_p- when cyclized onto Ar, where p is 2 or 3;

R₁ is hydrogen or C₁₋₆ linear or branched alkyl, or together with R₂ form a -(CH₂)_n- group in which n represents 3, 4, or 5; or R₁ together with R forms a group -(CH₂)_q-, in which q is 2, 3, 4 or 5;

R₂ is hydrogen;

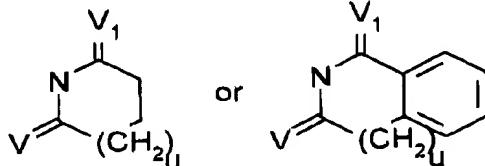
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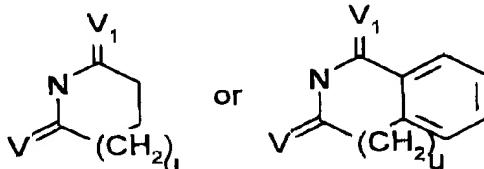
R_3 is hydrogen, C₁₋₆ linear or branched alkyl, C₁₋₆ alkenyl, aryl, C₁₋₆ alkoxy, hydroxy, halogen, nitro, cyano, carboxy, carboxamido, sulphonamido, C₁₋₆ alkoxy carbonyl, trifluoromethyl, acyloxy, phthalimido, amino, mono- and di-C₁₋₆ alkylamino, -O(CH₂)_r-NT₂, in which r is 2, 3, or 4 and T is hydrogen or C₁₋₆ alkyl or it forms with the adjacent nitrogen a group



in which V and V₁ are independently hydrogen or oxygen and u is 0, 1 or 2;

-O(CH₂)_s-OW₂ in which s is 2, 3, or 4 and W is hydrogen or C₁₋₆ alkyl; hydroxyalkyl, aminoalkyl, mono- or di-alkylaminoalkyl, acylamino, alkylsulphonylamino, aminoacylamino, mono- or di-alkylaminoacylamino; with up to four R_3 substituents being present in the quinoline nucleus;

R_4 is C₁₋₆ linear or branched alkyl, C₁₋₆ alkenyl, aryl, C₁₋₆ alkoxy, hydroxy, halogen, nitro, cyano, carboxy, carboxamido, sulphonamido, C₁₋₆ alkoxy carbonyl, trifluoromethyl, acyloxy, phthalimido, amino, mono- and di-C₁₋₆ alkylamino, -O(CH₂)_r-NT₂, in which r is 2, 3, or 4 and T is hydrogen or C₁₋₆ alkyl or it forms with the adjacent nitrogen a group



in which V and V₁ are independently hydrogen or oxygen and u is 0, 1 or 2;

-O(CH₂)_s-OW₂ in which s is 2, 3, or 4 and W is hydrogen or C₁₋₆ alkyl; hydroxyalkyl, aminoalkyl, mono- or di-alkylaminoalkyl, acylamino, alkylsulphonylamino, aminoacylamino, mono- or di-alkylaminoacylamino; with up to four R_3 substituents being present in the quinoline nucleus;

or R_4 is a group -(CH₂)_t- when cyclized onto R_5 as aryl, in which t is 1, 2, or 3;

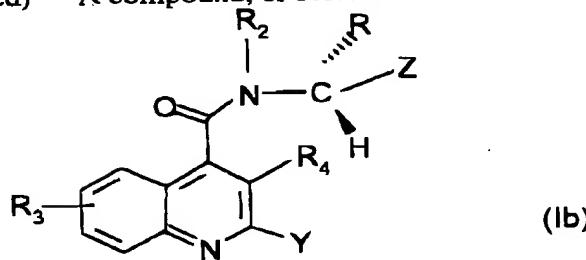
R_5 is branched or linear C₁₋₆ alkyl, C₃₋₇ cycloalkyl, C₄₋₇ cycloalkylalkyl, optionally substituted aryl, wherein an optional substituent is hydroxy, halogen, C₁₋₆ alkoxy or C₁₋₆ alkyl, or an optionally substituted single or fused ring heterocyclic group, having aromatic character, containing from 5 to 12 ring atoms and comprising up to four hetero-atoms in the or each ring selected from S, O, N;

X is O, S, or N-C≡N.

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60. (Amended) A compound, or solvate or salt thereof, of formula (Ib):



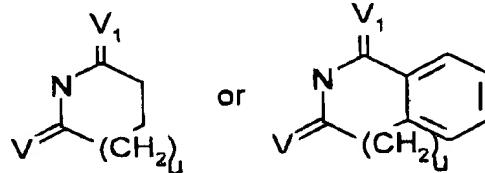
in which:

R is linear or branched C₁₋₈ alkyl, C₃₋₇ cycloalkyl, C₄₋₇ cycloalkylalkyl, an optionally substituted phenyl group or a phenyl C₁₋₆ alkyl group, an optionally substituted five-membered heteroaromatic ring comprising up to four heteroatoms selected from O and N, hydroxy C₁₋₆ alkyl, amino C₁₋₆ alkyl, C₁₋₆ alkylaminoalkyl, di C₁₋₆ alkylaminoalkyl, C₁₋₆ acylaminoalkyl, C₁₋₆ alkoxyalkyl, C₁₋₆ alkylcarbonyl, carboxy, C₁₋₆ alkoxyxcarbonyl, C₁₋₆ alkoxy carbonyl C₁₋₆ alkyl, aminocarbonyl, C₁₋₆ alkylaminocarbonyl, di C₁₋₆ alkylaminocarbonyl, halogeno C₁₋₆ alkyl; or is a group - (CH₂)_p - when cyclized onto Ar, where p is 2 or 3;

[R₁ is hydrogen or C₁₋₆ linear or branched alkyl, or together with R₂ form a -(CH₂)_n- group in which n represents 3, 4, or 5; or R₁ together with R forms a group -(CH₂)_q-, in which q is 2, 3, 4 or 5;]

R₂ is hydrogen;

R₃ is hydrogen, C₁₋₆ linear or branched alkyl, C₁₋₆ alkenyl, aryl, C₁₋₆ alkoxy, hydroxy, halogen, nitro, cyano, carboxy, carboxamido, sulphonamido, C₁₋₆ alkoxy carbonyl, trifluoromethyl, acyloxy, phthalimido, amino, mono- and di-C₁₋₆ alkylamino, -O(CH₂)_r-NT₂, in which r is 2, 3, or 4 and T is hydrogen or C₁₋₆ alkyl or it forms with the adjacent nitrogen a group



in which V and V₁ are independently hydrogen or oxygen and u is 0, 1 or 2; -O(CH₂)_s-OW₂ in which s is 2, 3, or 4 and W is hydrogen or C₁₋₆ alkyl; hydroxyalkyl, aminoalkyl, mono- or di-alkylaminoalkyl, acylamino, alkylsulphonylamino, aminoacylamino, mono- or di-alkylaminoacylamino; with up to four R₃ substituents being present in the quinoline nucleus;

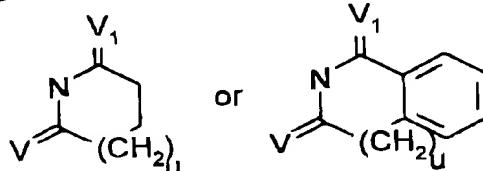
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R_4 is C_{1-6} linear or branched alkyl, C_{1-6} alkenyl, aryl, C_{1-6} alkoxy, hydroxy, halogen, nitro, cyano, carboxy, carboxamido, sulphonamido, C_{1-6} alkoxy carbonyl, trifluoromethyl, acyloxy, phthalimido, amino, mono- and di- C_{1-6} alkylamino, $-O(CH_2)_r-NT_2$, in which r is 2, 3, or 4 and T is hydrogen or C_{1-6} alkyl or it forms with the adjacent nitrogen a group



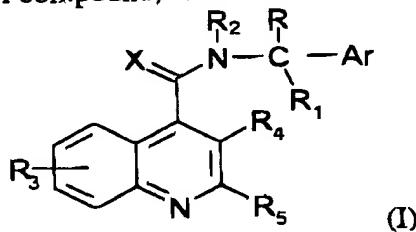
in which V and V_1 are independently hydrogen or oxygen and u is 0, 1 or 2; $-O(CH_2)_s-OW_2$ in which s is 2, 3, or 4 and W is hydrogen or C_{1-6} alkyl; hydroxyalkyl, aminoalkyl, mono- or di-alkylaminoalkyl, acylamino, alkylsulphonylamino, aminoacylamino, mono- or di-alkylaminoacylamino; with up to four R_3 substituents being present in the quinoline nucleus;

or R_4 is a group $-(CH_2)_t-$ when cyclized onto R_5 as aryl, in which t is 1, 2, or 3;

Z is phenyl or phenyl substituted by hydroxy, halogen, C_{1-6} alkoxy, C_{1-6} alkyl or Z is a single or fused ring heterocyclic group, having aromatic character, containing from 5 to 12 ring atoms and comprising up to four hetero-atoms in the or each ring selected from S, O, N or Z is C_{5-7} cycloalkdienyl; and

Y is C_{3-7} cycloalkyl, phenyl or phenyl substituted by hydroxy, halogen, C_{1-6} alkoxy, or C_{1-6} alkyl, or Y is a single or fused ring heterocyclic group, having aromatic character, containing from 5 to 12 ring atoms and comprising up to four hetero-atoms in the or each ring selected from S, O, N.

61. (Amended) A compound, or solvate or salt thereof, of formula (I):



in which:

Ar is an optionally substituted phenyl group, or a naphthyl or C_{5-7} cycloalkdienyl group, or an optionally substituted single or fused ring heterocyclic group, having aromatic character, containing from 5 to 12 ring atoms and comprising up to four hetero-atoms in the or each ring selected from S, O, N;

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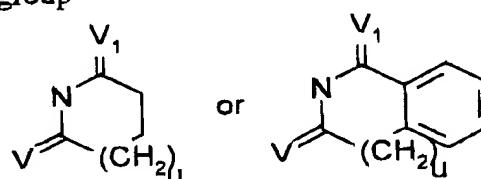
Group Art Unit No.: 1711

R is linear or branched C₁₋₈ alkyl, C₃₋₇ cycloalkyl, C₄₋₇ cycloalkylalkyl, an optionally substituted phenyl group or a phenyl C₁₋₆ alkyl group, an optionally substituted five-membered heteroaromatic ring comprising up to four heteroatoms selected from O and N, hydroxy C₁₋₆ alkyl, amino C₁₋₆ alkyl, C₁₋₆ alkylaminoalkyl, di C₁₋₆ alkylaminoalkyl, C₁₋₆ acylaminoalkyl, C₁₋₆ alkoxyalkyl, C₁₋₆ alkylcarbonyl, carboxy, C₁₋₆ alkoxyxcarbonyl, C₁₋₆ alkoxy carbonyl C₁₋₆ alkyl, aminocarbonyl, C₁₋₆ alkylaminocarbonyl, di C₁₋₆ alkylaminocarbonyl, halogeno C₁₋₆ alkyl; or is a group -(CH₂)_p- when cyclized onto Ar, where p is 2 or 3;

R₁ is hydrogen or C₁₋₆ linear or branched alkyl, or together with R₂ form a -(CH₂)_n- group in which n represents 3, 4, or 5; or R₁ together with R forms a group -(CH₂)_q-, in which q is 2, 3, 4 or 5;

R₂ is hydrogen;

R₃ is hydrogen, C₁₋₆ linear or branched alkyl, C₁₋₆ alkenyl, aryl, C₁₋₆ alkoxy, hydroxy, halogen, nitro, cyano, carboxy, carboxamido, sulphonamido, C₁₋₆ alkoxy carbonyl, trifluoromethyl, acyloxy, phthalimido, amino, mono- and di-C₁₋₆ alkylamino, -O(CH₂)_r-NT₂, in which r is 2, 3, or 4 and T is hydrogen or C₁₋₆ alkyl or it forms with the adjacent nitrogen a group



in which V and V₁ are independently hydrogen or oxygen and u is 0, 1 or 2; -O(CH₂)_s-OW₂ in which s is 2, 3, or 4 and W is hydrogen or C₁₋₆ alkyl; hydroxyalkyl, aminoalkyl, mono- or di-alkylaminoalkyl, acylamino, alkylsulphonylamino, aminoacylamino, mono- or di-alkylaminoacylamino; with up to four R₃ substituents being present in the quinoline nucleus;

R₄ is hydroxy;

R₅ is branched or linear C₁₋₆ alkyl, C₃₋₇ cycloalkyl, C₄₋₇ cycloalkylalkyl, optionally substituted aryl, wherein an optional substituent is hydroxy, halogen, C₁₋₆ alkoxy or C₁₋₆ alkyl, or an optionally substituted single or fused ring heterocyclic group, having aromatic character, containing from 5 to 12 ring atoms and comprising up to four hetero-atoms in the or each ring selected from S, O, N;

X is O, S, or N-C≡N.